

Jan Delaval please

Access DB#

SEARCH REQUEST FORM

Scientific and Technical Information Center

59924

Requester's Full Name: Sabine Ogo Examiner #: 74141 Date: 2/5/02  
Art Unit: 1616 Phone Number: 305-3910 Serial Number: 607779,331  
Mail Box and Bldg/Room Location: 2D19, CM Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Estrogenic Compds as Antiangiogenic agents  
Inventors (please provide full names): D'Amato et al.

Earliest Priority Filing Date: 7/5/01

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Pl. note 09/899,702 contains NO R<sub>h</sub>, + R<sub>h</sub><sub>2</sub>  
Substituted

Elected species

09/899,702  
compd of cl 8.

09/779,331  
compd of cl 8

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Biotechnology & Chemical Library  
CM1 1E07 - 703-308-4498  
jan.delaval@uspto.gov

Please see attached sheets  
Thank you

STARFUSE ONLY

Searcher: Jan  
Searcher Phone #: 4498  
Searcher Location: 215102  
Date Searcher Picked Up: 2/5/02  
Date Completed: 2/5/02  
Searcher Prep & Review Time: 15  
Clerical Prep Time: 15  
Online Time: 130

Type of Search

NA Sequence (#) ✓  
AA Sequence (#) ✓  
Structure (#) ✓  
Bibliographic ✓  
Litigation ✓  
Fulltext ✓  
Patent Family ✓  
Other ✓

Wendure and cost where applicable

STN ✓  
Dialog ✓  
Questel/Orbit ✓  
Dr Link ✓  
Lexis/Nexis ✓  
Sequence Systems ✓  
WWW/Internet ✓  
Other (specify) ✓

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Access DB# 59974

## SEARCH REQUEST FORM

Scientific and Technical Information Center

2/5/02

Requester's Full Name: Sabaha Qays Examiner #: 74141 Date: 8/30/01  
Art Unit: 1616 Phone Number 305-3910 Serial Number: 09/779,331  
Mail Box and Bldg/Room Location: 2D19, CM1 Results Format Preferred (circle) PAPER DISK E-MAIL

3807

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Antiangiogenic agents  
Inventors (please provide full names): Eyregio Gregory Agoston et al

Earliest Priority Filing Date: 7/5/01

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please see attached sheet + request for  
Search 09/895,702

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jan.delaval@uspto.gov

### STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>Jan</u>	NA Sequence (#) _____	STN <u>✓</u>
Searcher Phone #: <u>14498</u>	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>✓</u>	Questel/Orbit _____
Date Searcher Picked Up: <u>2/6/02</u>	Bibliographic _____	Dr.Link _____
Date Completed: <u>2/6/02</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: <u>15</u>	Patent Family _____	WWW/Internet _____
Online Time: <u>1:20</u>	Other _____	Other (specify) _____

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\$%^\$TN;HighlightOn=;HighlightOff=;

=> fil reg

FILE 'REGISTRY' ENTERED AT 16:17:14 ON 19 FEB 2002

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jan.delaval@uspto.gov

STRUCTURE FILE UPDATES: 18 FEB 2002 HIGHEST RN 393508-26-4

DICTIONARY FILE UPDATES: 18 FEB 2002 HIGHEST RN 393508-26-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:

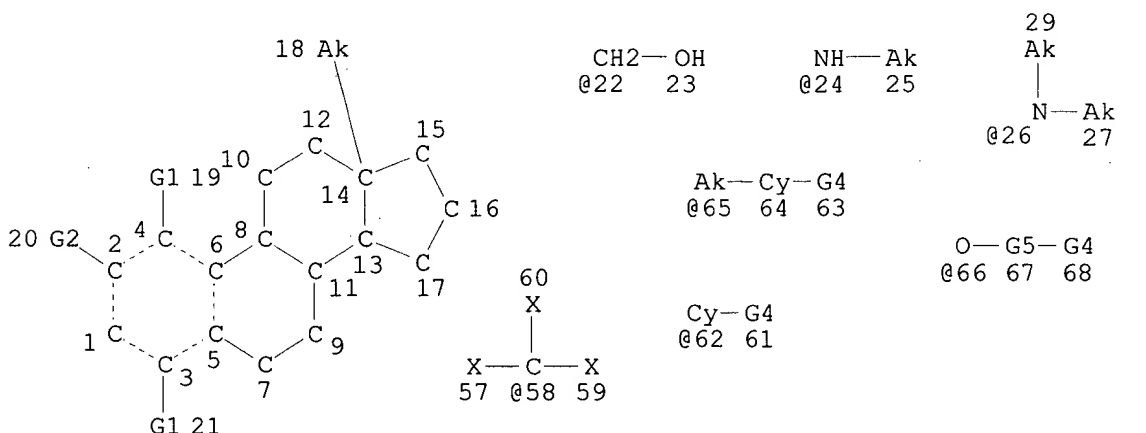
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

The P indicator for Preparations was not generated for all of the  
CAS Registry Numbers that were added to the H/Z/CA/CAPLUS files between  
12/27/01 and 1/23/02. Use of the P indicator in online and SDI searches  
during this period, either directly appended to a CAS Registry Number  
or by qualifying an L-number with /P, may have yielded incomplete results.  
As of 1/23/02, the situation has been resolved. Also, note that searches  
conducted using the PREP role indicator were not affected.

Customers running searches and/or SDIs in the H/Z/CA/CAPLUS files  
incorporating CAS Registry Numbers with the P indicator between 12/27/01  
and 1/23/02, are encouraged to re-run these strategies. Contact the  
CAS Help Desk at 1-800-848-6533 in North America or 1-614-447-3698,  
worldwide, or send an e-mail to [help@cas.org](mailto:help@cas.org) for further assistance or to  
receive a credit for any duplicate searches.

=> d sta que 130

L3 STR



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VAR* G1=H/X/CN/AK/OH/22/NH2/24/26
VAR G2=30/CN/33/38/41/44/50/51/53/55/62/65/66
VAR G3=AK/CY/36
VAR G4=OH/NH2/X/58
VAR G5=AK/CY/36-66 37-68
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NODE ATTRIBUTES:

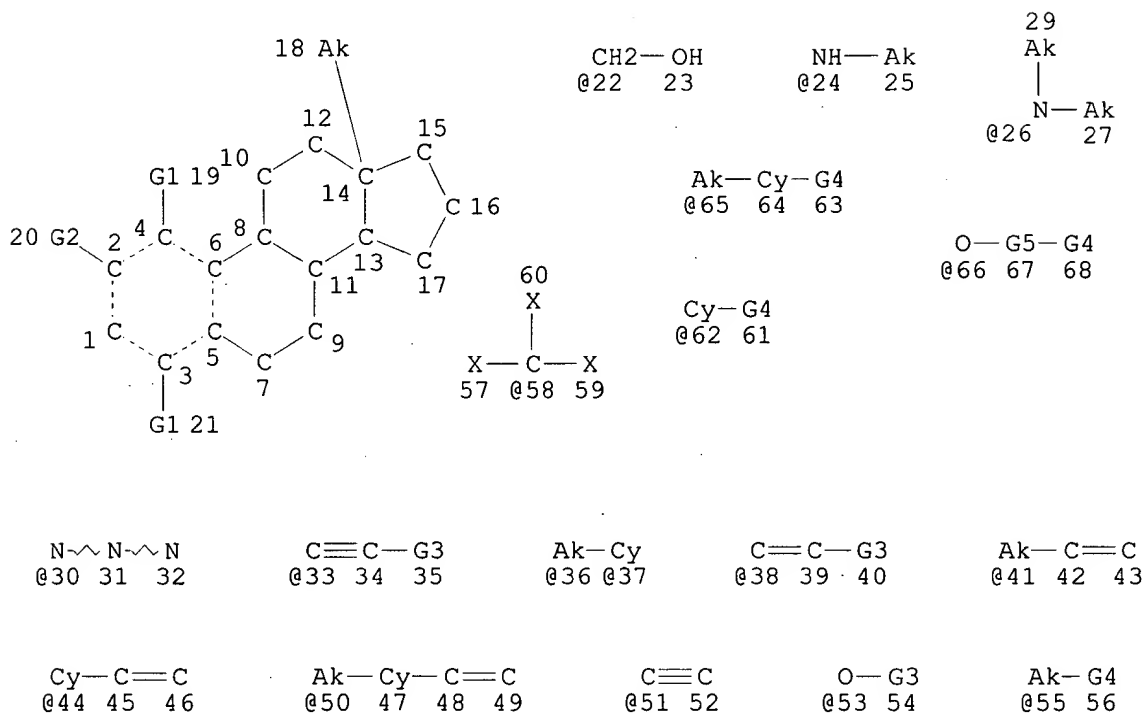
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CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 7
CONNECT IS M1 RC AT 15
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 67

STEREO ATTRIBUTES: NONE

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L4          394 SEA FILE=REGISTRY CSS FUL L3
L5          STR
```



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VAR G1=H/X/CN/AK/OH/22/NH2/24/26
VAR G2=30/CN/33/38/41/44/50/51/53/55/62/65/66
VAR G3=AK/CY/36
VAR G4=OH/NH2/X/58
VAR G5=AK/CY/36-66 37-68
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NODE ATTRIBUTES:

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CONNECT IS M1 RC AT 1
CONNECT IS M1 RC AT 7
CONNECT IS M1 RC AT 15
CONNECT IS M1 RC AT 16
DEFAULT MLEVEL IS ATOM'
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

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RSPEC      1
NUMBER OF NODES IS 67
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STEREO ATTRIBUTES: NONE

L7 489 SEA FILE=REGISTRY CSS FUL L5

L30 95 SEA FILE=REGISTRY ABB=ON PLU=ON L7 NOT L4

=> d his

(FILE 'REGISTRY' ENTERED AT 15:50:05 ON 19 FEB 2002)

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L2      50 S L1 CSS SAM
      ACT QAZ899/A
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L3      STR
L4      394 SEA FILE=REGISTRY CSS FUL L3
      -----
L5      STR L3
L6      12 S L5 CSS
L7      489 S L5 CSS FUL
      SAV L7 QAZ799/A
      ACT QAZ899A/A
      -----
L8      STR
L9 (    394)SEA FILE=REGISTRY CSS FUL L8
L10     STR
L11     152 SEA FILE=REGISTRY SUB=L9 CSS FUL L10
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L12     STR L10
L13     6 S L12 CSS SAM SUB=L7
L14     176 S L12 CSS FUL SUB=L7
      SAV L14 QAZ799A/A
      ACT QAZ899B/A
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L15     STR
L16 (    394)SEA FILE=REGISTRY CSS FUL L15
L17     STR
L18 (    152)SEA FILE=REGISTRY SUB=L16 CSS FUL L17
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L28     24 S L26 CSS FUL SUB=L14
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L29     5 S L28 AND (C19H25FO3 OR C19H23CLO3 OR C21H27NO2)
L30     95 S L7 NOT L4
L31     71 S L30 NOT L28
L32     54 S L31 NOT OC5/ES
L33     47 S L32 AND C5-C6-C6-C6/ES
L34     4 S L33 AND C26H29CLO3
L35     2 S L34 NOT (54502-28-2 OR 54502-30-6)
L36     7 S L29,L35
      SAV L36 QAZ799D/A
L37     1 S L36 AND C21H27NO2
L38     6 S L36 NOT L37

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FILE 'HCAOLD' ENTERED AT 16:13:41 ON 19 FEB 2002

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L39     0 S L37
L40     0 S L38

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FILE 'HCAPLUS' ENTERED AT 16:13:46 ON 19 FEB 2002

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L41     1 S L37

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L42 2 S L38  
L43 3 S L41,L42  
L44 14 S L7 AND (D AMATO R? OR DAMATO R? OR AMATO ? OR VARMA R? OR HAU  
L45 2 S L30 AND (D AMATO R? OR DAMATO R? OR AMATO ? OR VARMA R? OR HA  
L46 5 S L43,L45

FILE 'REGISTRY' ENTERED AT 16:17:14 ON 19 FEB 2002

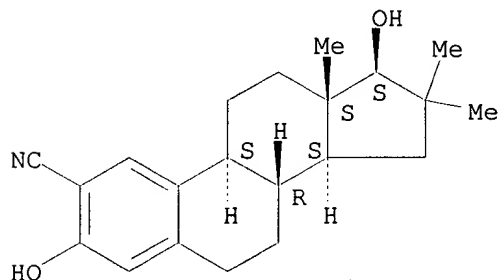
=> d ide can 137

L37 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS  
RN 258278-72-7 REGISTRY  
CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-,  
(17.beta.)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN EM 1926  
FS STEREOSEARCH  
MF C21 H27 N O2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



*Species*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

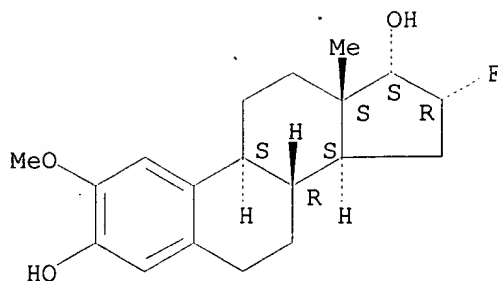
1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:152024

=> d ide can 138 tot

L38 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2002 ACS  
RN 202397-97-5 REGISTRY  
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-,  
(16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C19 H25 F O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.



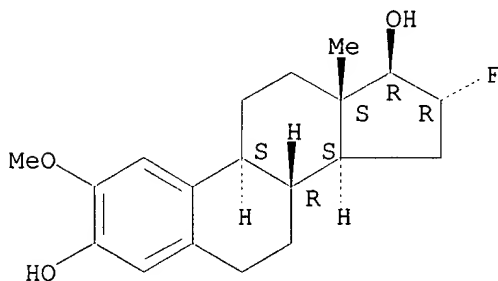
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1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:138115

L38 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2002 ACS  
RN 202397-93-1 REGISTRY  
CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-,  
(16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C19 H25 F O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.



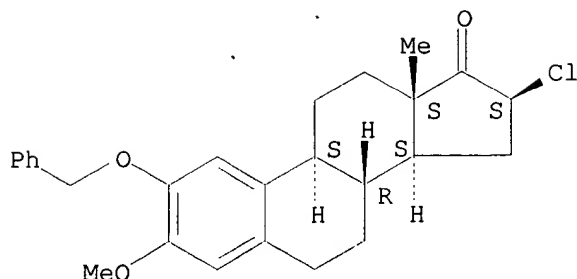
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:138115

L38 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2002 ACS  
RN 54502-35-1 REGISTRY  
CN Estra-1,3,5(10)-triene-17-one, 16-chloro-3-methoxy-2-(phenylmethoxy)-,  
(16.beta.)- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 2-Benzoyloxy-16.beta.-chloro-3-methoxyestra-1,3,5(10)-triene-17-one  
FS STEREOSEARCH  
MF C26 H29 Cl O3  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2002 ACS

RN 54502-32-8 REGISTRY

CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-methoxy-2-(phenylmethoxy)-,  
(16.alpha.)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-Benzoyloxy-16.alpha.-chloro-3-methoxyestra-1,3,5(10)-trien-17-one

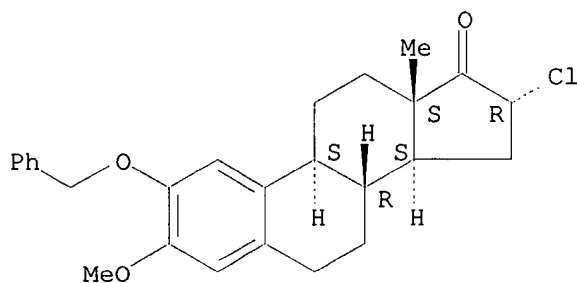
FS STEREOSEARCH

MF C26 H29 Cl O3

LC STN Files: BEILSTEIN\*, CA, CAPLUS

(\*File contains numerically searchable property data)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2002 ACS

RN 54502-31-7 REGISTRY

CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.beta.)-  
(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 16.beta.-Chloro-3-hydroxy-2-methoxyestra-1,3,5(10)-trien-17-one

FS STEREOSEARCH

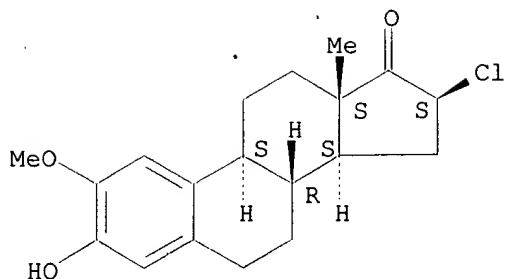
MF C19 H23 Cl O3

LC STN Files: BEILSTEIN\*, CA, CAPLUS

(\*File contains numerically searchable property data)

Absolute stereochemistry.





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

L38 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2002 ACS

RN 54502-29-3 REGISTRY

CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.alpha.)-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 16.alpha.-Chloro-3-hydroxy-2-methoxyestra-1,3,5(10)-trien-17-one

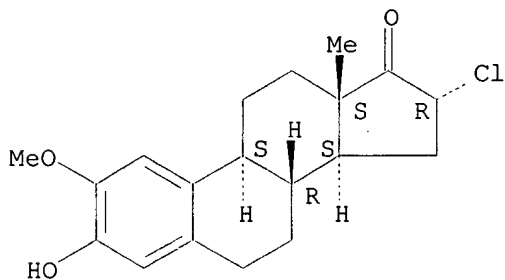
FS STEREOSEARCH

MF C19 H23 Cl O3

LC STN Files: BEILSTEIN\*, CA, CAPLUS

(\*File contains numerically searchable property data)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:43650

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 16:17:43 ON 19 FEB 2002

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FILE COVERS 1907 - 18 Feb 2002 VOL 136 ISS 8  
FILE LAST UPDATED: 17 Feb 2002 (20020217/ED)

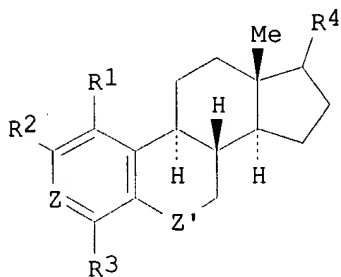
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 146 bib abs hitstr tot

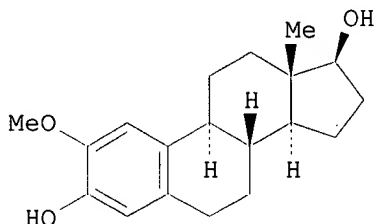
L46 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2002 ACS  
AN 2002:11127 HCAPLUS  
DN 136:64669  
TI Estrogenic compounds as antiangiogenic agents  
IN D'Amato, Robert J.; Varma, Ravi K.; Haugwitz, Rudiger G.; Cushman, Mark  
PA USA  
SO U.S. Pat. Appl. Publ., 14 pp., Cont. of U.S. Ser. No. 154,322, abandoned.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002002294	A1	20020103	US 2001-899702	20010705
PRAI	US 1997-59916	P	19970924		
	US 1998-154322	B1	19980916		
OS	MARPAT 136:64669				
GI					

09/899702  
continuation



I



II

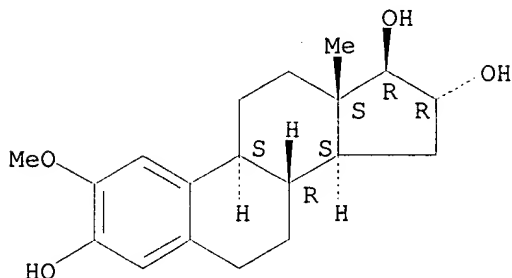
AB 2-Methoxyestradiol derivs., such as I [R1, R3 = H, Cl, Br, I, F, CN, alkyl, OH, CH2OH, NH2, alkylamino; R2 = N3, CN, C.tplbond.CR, C=CHR, RCH=CH2, C.tplbond.CH, OR, R-R1, OR-R1 (R = alkyl, R1 = OH, NH2, Cl, Br, I, F, CF3); Z = CH, COH, CR2-OH (R2 = alkyl, aralkyl); Z' = CH2, CO, CH(OH); C=NOH, C=NOR5, CHC.tplbond.N, CHNR5R5 (R5 = H, alkyl, aralkyl)], were used for treating mammalian disease characterized by undesirable angiogenesis. Thus, 2-methoxyestradiol (II) showed inhibition of tubulin polymn. (IC50 = 3.6.+-.0.4 .mu.M), inhibition of colchicine binding to tubulin (1.9.+-.0.2 .mu.M) and antitumor activity against breast, CNS, melanoma, ovarian tumor cell assay in vitro.

IT 1236-72-2, 2-Methoxyestradiol  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (estrogenic compds. as antiangiogenic agents)

RN 1236-72-2 HCAPLUS

CN Estr-1,3,5(10)-triene-3,16,17-triol, 2-methoxy-, (16.alpha.,17.beta.)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2002 ACS

AN 2000:116882 HCAPLUS

DN 132:152024

TI Preparation of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase

IN Labrie, Fernand; Merand, Yves; Gauthier, Sylvain; Provencher, Louis; Luu-The, Van

PA Endorecherche, Inc., Can.

SO PCT Int. Appl., 140 pp.

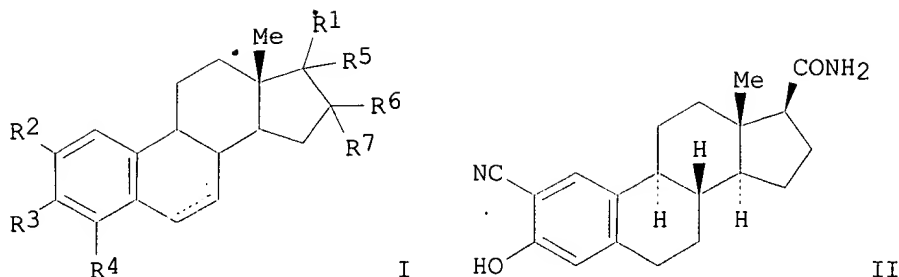
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2000007576	A3	20000330		
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	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9951449	A1	20000228	AU 1999-51449	19990806
	EP 1102582	A2	20010530	EP 1999-936218	19990806
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	NO 2001000651	A	20010405	NO 2001-651	20010207
PRAI	US 1998-95623	P	19980807		
	WO 1999-CA724	W	19990806		
OS	MARPAT 132:152024				
GI					



AB Novel methods of treating and/or inhibiting development of prostatic cancer, benign prostatic hyperplasia, prostatitis, acne, seborrhea, hirsutism or androgenic alopecia utilize inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase alone or in combination with other active pharmaceuticals such as inhibitors of type 5 17.beta.-hydroxysteroid dehydrogenase. The inhibitors, of formula I [R1 = OH, acyloxy, alkoxy, amido, etc.; R2, R4 = H, CN, F, Cl, Br, NO2; R3 = alkoxy, acyloxy, alkoxycarbonyloxy, OH, carbamate; R5 = H, alkyl, etc.; R1R5 = O, lactone ring; R6, R7 = H, alkyl, benzyl; R6R7 = cycloalkene], are prepd. Thus, II showed 98% inhibition of the transformation of 4-dione by type 3 3.alpha.-HSD. Pharmaceutical compns. contg. I are described.

IT 258278-72-7P, EM 1926

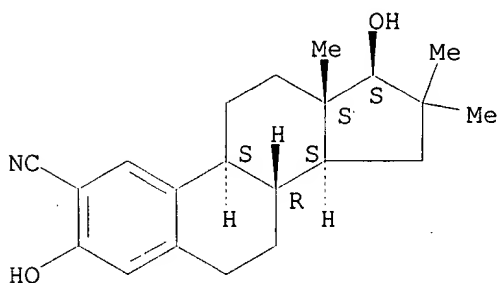
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase)

RN 258278-72-7 HCAPLUS

CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2002 ACS

AN 1997:805513 HCAPLUS

DN 128:138115

TI The metabolism of 16-fluoroestradiols in vivo: chemical strategies for restricting the oxidative biotransformations of an estrogen-receptor imaging agent

AU Stalford, Anne C.; Maggs, James L.; Gilchrist, Thomas L.; Park, B. Kevin  
CS Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK

SO Steroids (1997), 62(12), 750-761

CODEN: STEDAM; ISSN: 0039-128X

PB Elsevier Science Inc.

DT Journal

LA English

AB 16.alpha.-Fluoro-17.beta.-, 16.alpha.-fluoro-17.alpha.-, and 16.beta.-fluoro-17.beta.-[6,7-3H]estradiol were prepd. from

[6,7-<sup>3</sup>H]estrone via fluorination of 3,17-bis(tert-butyldimethylsilyloxy)-[6,7-<sup>3</sup>H]estratetraene with N-fluoropyridinium triflate and redn. of 16.alpha./.beta.-fluoro[6,7-<sup>3</sup>H]estrone with NaBH<sub>4</sub>. The three isomers were sepd. by silica-phase high-performance liq. chromatog. They were administered i.v. (4 .mu.mol/kg) to anesthetized male rats. Their biliary metabolites (90-97% of dose over 6 h) were characterized by high performance liq. chromatog.-mass spectrometry and compared with those of [6,7-<sup>3</sup>H]17.beta.-estradiol. The four estrogens and their hydroxylated and methoxylated metabolites were excreted as glucuronides. C-16 fluorination blocked C-16 hydroxylation and also the dehydrogenation of the C-17 hydroxyl group. The 16.alpha.-17.beta. isomer was extensively glucuronylated at C(=O)3 but also underwent arom. hydroxylation and methoxylation before conjugation. Its C-17 epimer was subject to much greater arom. hydroxylation but the catecholesterogen was O-methylated to a greater relative extent. The 16.beta.-17.beta. deriv. underwent alicyclic as well as substantial arom. hydroxylation and yielded numerous isomeric glucuronides of O-methylated catechols. Thus, the fluorine exerted complex effects (inhibitory and enhancing) on both localized (D-ring) and distal (A-ring) biotransformations of the estradiol mol.; the direction and magnitude of the effects being dependent upon the stereochem. at C-16 and C-17. These findings provide structural guidelines for restricting the metab. of tumor-imaging fluoroestrogens and thereby enhancing their delivery to the target tissue.

IT 202397-93-1 202397-97-5

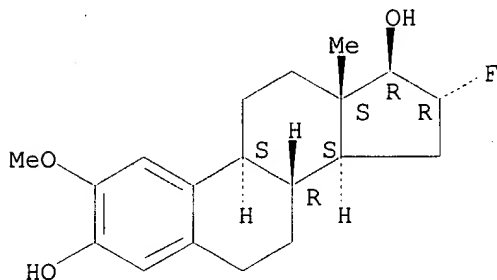
RL: MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)

(metab. of 16-fluoroestradiols in vivo: chem. strategies for restricting oxidative biotransformations of estrogen-receptor imaging agent)

RN 202397-93-1 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-, (16.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

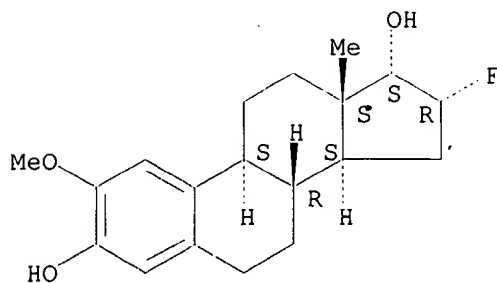
Absolute stereochemistry.

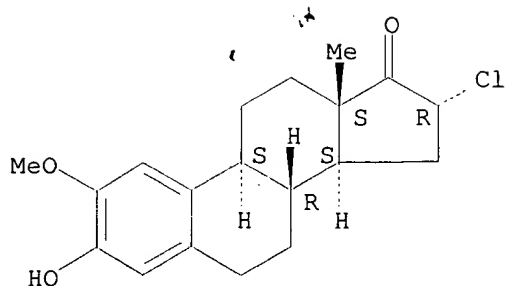


RN 202397-97-5 HCAPLUS

CN Estra-1,3,5(10)-triene-3,17-diol, 16-fluoro-2-methoxy-, (16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

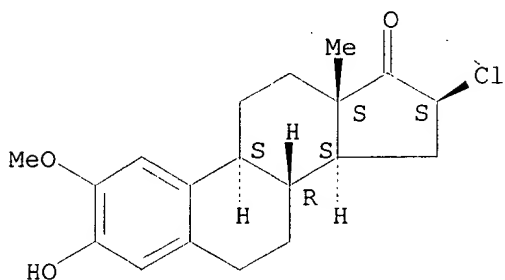
Absolute stereochemistry.





RN 54502-31-7 HCAPLUS  
 CN Estra-1,3,5(10)-trien-17-one, 16-chloro-3-hydroxy-2-methoxy-, (16.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 16:18:45 ON 19 FEB 2002  
 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

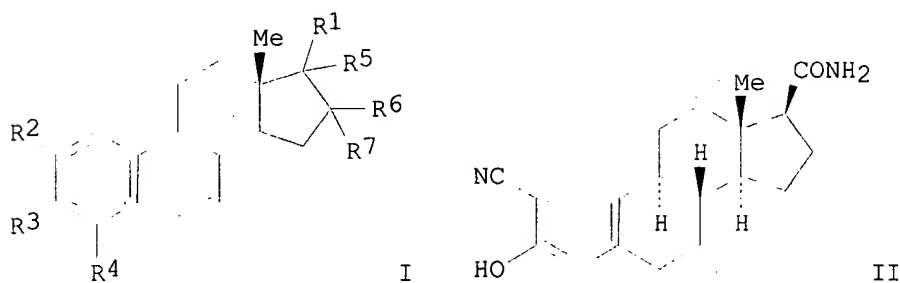
FILE 'USPAT2' ENTERED AT 16:18:45 ON 19 FEB 2002  
 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr

L47 ANSWER 1 OF 1 USPATFULL  
 AN 1999:143299 USPATFULL  
 TI Selective denial of encrypted high precision data by indirect keying  
 IN Clark, James Monroe, Verona, NJ, United States  
 PA ITT Corporation, New York, NY, United States (U.S. corporation)  
 PI US 5982897 19991109  
 AI US 1998-95623 19980610 (9)  
 RLI Continuation of Ser. No. US 1995-429519, filed on 26 Apr 1995, now abandoned  
 DT Utility  
 FS Granted  
 EXNAM Primary Examiner: Hayes, Gail O.; Assistant Examiner: Sayadian, Hrayr A.  
 LREP Plevy, Arthur L.  
 CLMN Number of Claims: 24  
 ECL Exemplary Claim: 21  
 DRWN 4 Drawing Figure(s); 3 Drawing Page(s)  
 LN.CNT 655  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB High precision transmitted navigational data as encrypted data transmitted by global positioning (GPS) satellites is made unavailable in regions designated as hostile and during desired intervals, while allowing the data to be available outside the hostile region. All satellites in the GPS constellation transmit the high precision navigational data in encrypted form. However, only the satellites that

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007576	A2	20000217	WO 1999-CA724	19990806
	WO 2000007576	A3	20000330		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP	1321146	A2	20030625	EP 2003-4941	19990310
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY			
CA	2339368	AA	20000217	CA 1999-2339368	19990806
AU	9951449	A1	20000228	AU 1999-51449	19990806
EP	1102582	A2	20010530	EP 1999-936218	19990806
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002522380	T2	20020723	JP 2000-563261	19990806
	NO 2001000651	A	20010405	NO 2001-651	20010207
PRAI	US 1998-95623P	P	19980807		
	US 1998-77510P	P	19980311		
	EP 1999-907207	A3	19990310		
	WO 1999-CA724	W	19990806		
OS	MARPAT 132:152024				
GI					



AB Novel methods of treating and/or inhibiting development of prostatic cancer, benign prostatic hyperplasia, prostatitis, acne, seborrhea, hirsutism or androgenic alopecia utilize inhibitors of type 3  $3\alpha$ -hydroxysteroid dehydrogenase alone or in combination with other active pharmaceuticals such as inhibitors of type 5  $17\beta$ -hydroxysteroid dehydrogenase. The inhibitors, of formula I [R1 = OH, acyloxy, alkoxy, amido, etc.; R2, R4 = H, CN, F, Cl, Br, NO<sub>2</sub>; R3 = alkoxy, acyloxy, alkoxy-carbonyloxy, OH, carbamate; R5 = H, alkyl, etc.; R1R5 = O, lactone ring; R6, R7 = H, alkyl, benzyl; R6R7 = cycloalkene], are prepared. Thus, II showed 98% inhibition of the transformation of 4-dione by type 3  $3\alpha$ -HSD. Pharmaceutical compns. containing I are described.

ST hydroxysteroid dehydrogenase inhibitor steroid prepn  
 IT Prostate gland  
 (benign hyperplasia, treatment; preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT Steroids, preparation  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT Prostate gland  
 (prostatitis, treatment; preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT Acne  
 Alopecia  
 Hirsutism  
 Neoplasm  
 Seborrhea  
 (treatment; preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 243638-19-9P 243638-38-2P 243638-40-6P 243638-41-7P 243836-42-2P 257953-50-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 50-28-2P, Estradiol, preparation 1630-83-7P 16205-32-6P 93947-11-6P 93995-38-1P 243638-03-1P, EM 01667C 243638-98-4P, EM 01645 243640-08-6P 243640-10-0P 243836-37-5P 243836-40-0P, EM 1125 243841-16-9P 243841-55-6P 243841-61-4P 257953-48-3P 257953-49-4P 257953-51-8P 257953-52-9P 257953-53-0P 257953-54-1P 257953-55-2P 257953-56-3P 258278-38-5P, EM 1834 258278-49-8P, EM 1836 258278-72-7P, EM 1926 258278-74-9P, EM 2132 258278-77-2P, EM 2318 258278-78-3P, EM 2330 258278-79-4P, EM 2359  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 9028-56-2, 3 $\alpha$ -Hydroxy steroid dehydrogenase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 257953-61-0P 257953-62-1P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 53-16-7, Estrone, reactions 521-18-6, Dihydrotestosterone 1624-62-0 6921-34-2, Benzylmagnesium chloride 40365-61-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)  
 IT 3262-23-5P 5976-73-8P, 2-Nitroestrone 54793-02-1P 57711-40-7P 58701-44-3P 165619-18-1P 225245-08-9P 225245-12-5P 243637-93-6P 243637-94-7P 243637-95-8P 243637-96-9P 243637-97-0P 243637-98-1P 243637-99-2P 243638-00-8P 243638-01-9P 243638-02-0P 243638-17-7P 243638-18-8P 243638-22-4P 257953-57-4P 257953-58-5P 257953-59-6P 257953-60-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



(preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)

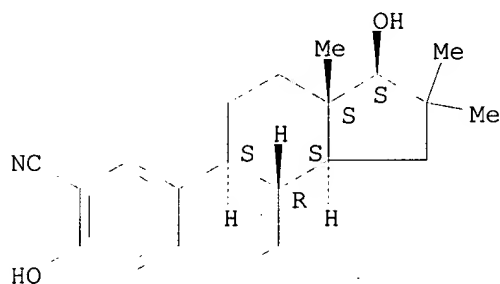
IT 5976-74-9P, 4-Nitroestrone  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)

IT 258278-72-7P, EM 1926  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of steroids as inhibitors of type 3 3 $\alpha$ -hydroxysteroid dehydrogenase)

RN 258278-72-7 HCAPLUS

CN Estr-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:805513 HCAPLUS

DN 128:138115

ED Entered STN: 26 Dec 1997

TI The metabolism of 16-fluoroestradiols in vivo: chemical strategies for restricting the oxidative biotransformations of an estrogen-receptor imaging agent

AU Stalford, Anne C.; Maggs, James L.; Gilchrist, Thomas L.; Park, B. Kevin

CS Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK

SO Steroids (1997), 62(12), 750-761  
 CODEN: STEDAM; ISSN: 0039-128X

PB Elsevier Science Inc.

DT Journal

LA English

CC 8-9 (Radiation Biochemistry)  
 Section cross-reference(s): 32

AB 16 $\alpha$ -Fluoro-17 $\beta$ -, 16 $\alpha$ -fluoro-17 $\alpha$ -, and 16 $\beta$ -fluoro-17 $\beta$ -[6,7-3H]estradiol were prepared from [6,7-3H]estrone via fluorination of 3,17-bis(tert-butyldimethylsilyloxy)-[6,7-3H]estratetraene with N-fluoropyridinium triflate and reduction of 16 $\alpha/\beta$ -fluoro[6,7-3H]estrone with NaBH<sub>4</sub>. The three isomers were separated by silica-phase high-performance liquid chromatog. They were administered i.v. (4  $\mu$ mol/kg) to anesthetized male rats. Their biliary metabolites (90-97% of dose over 6 h) were characterized by high performance liquid chromatog.-mass spectrometry and compared with those of [6,7-3H]17 $\beta$ -estradiol. The four estrogens and their hydroxylated and methoxylated metabolites were excreted as glucuronides. C-16 fluorination blocked C-16 hydroxylation and also the dehydrogenation of the C-17 hydroxyl group. The 16 $\alpha$ -17 $\beta$  isomer was extensively glucuronylated at C(=O)3 but also underwent aromatic hydroxylation and methoxylation before conjugation. Its C-17 epimer was subject to much

are not visible to the hostile region transmit the periodic key necessary to decrypt the data. The periodic key changes after a predetermined time interval. During a given time interval the same key value is used by all satellites for encryption of the high precision navigational data. A receiver can obtain the current periodic key from any visible satellite which is transmitting the periodic key. This key is then used to decrypt the high precision navigational data from that satellite and all other visible satellites. As a result, users in the hostile region are denied access to the high precision navigational data because they are unable to obtain the periodic key necessary to decrypt the data.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 258278-72-7P, EM 1926

(prepn. of steroids as inhibitors of type 3 3.alpha.-hydroxysteroid dehydrogenase)

RN 258278-72-7 USPATFULL

CN Estra-1,3,5(10)-triene-2-carbonitrile, 3,17-dihydroxy-16,16-dimethyl-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

